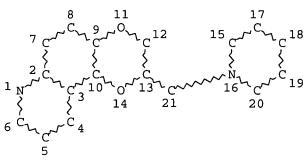
=> d 12

L2 HAS NO ANSWERS

L2

STR



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RSPEC 16 13

NUMBER OF NODES IS 21

STEREO ATTRIBUTES: NONE

=> s 12 ful

FULL SEARCH INITIATED 10:05:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 620 TO ITERATE

100.0% PROCESSED 620 IT

\_\_\_\_\_

SEARCH TIME: 00.00.01

620 ITERATIONS

L4 94 SEA SSS FUL L2

94 ANSWERS

- L5 HAS NO ANSWERS

L5

21

REP G1=(0-2) CH NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM

5

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 18 13

NUMBER OF NODES IS 23

STEREO ATTRIBUTES: NONE

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ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
ENTER SUBSET L# OR (END):14
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94 TO ITERATE

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FULL SUBSET SCREEN SEARCH COMPLETED -

81 ANSWERS

L6 81 SEA SUB=L4 SSS FUL L5

L7 HAS NO ANSWERS

REP G1=(0-2) CH NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES: RSPEC 18 13 NUMBER OF NODES IS 2

STEREO ATTRIBUTES: NONE

=> search 17
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:sss
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:subset
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FULL SUBSET SCREEN SEARCH COMPLETED - 81 TO ITERATE

100.0% PROCESSED 81 ITERATIONS 72 ANSWERS SEARCH TIME: 00.00.01

L8 72 SEA SUB=L6 SSS FUL L7

=> d scan

L8 72 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1H-Indole-6-carbonitrile, 1-[[1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-4-piperidinyl]methyl]- (9CI)
MF C28 H28 N4 O2
CI COM

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 240.44 240.65

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 10:08:03 ON 11 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 11 Apr 2005 VOL 142 ISS 16 FILE LAST UPDATED: 10 Apr 2005 (20050410/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

≈> s 18

L9 6 L8

=> d bib abs 1-6

L9 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:550738 CAPLUS

DN 141:89093

TI Preparation of azaheterocyclylmethyl derivatives of heterocycle-fused benzodioxans as antidepressants

IN Zhou, Dahui; Stack, Gary Paul

PA USA

SO U.S. Pat. Appl. Publ., 26 pp., Cont.-in-part of U.S. Provisional Ser. No.

410,168.

CODEN: USXXCO

DT Patent LA English FAN.CNT 2

	PATENT	NO.	KIND DA		DATE			APPL	ICAT	ION	. ОИ		DATE					
ΡI	US 2004132714			A1 20040708			;	US 2	 003 <i>-</i> -	20030910								
	WO 2004	WO 2004024730			A1 20040325			WO 2003-US28413							20030911			
	W :	AE, A	G, AL,	AM,	AT,	AU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
		CO, C	R, CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	GE,		
		GH, GI	M, HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	ΚZ,	LC,	LK,		
		LR, L	S, LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,		
		PG, Pl	H, PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,	TJ,	TM,	TN,		
		TR, T'	r, TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW					
	RW:	GH, GI	M, KE,	LS,	MW,	ΜZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,		
		KG, K	z, MD,	RU,	ТJ,	TM,	AT,	ΒE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,		
		FI, F	R, GB,	GR,	ΗU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,		
		BF, B	J, CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
PRAI	US 2002	-410168	3 P	P		2002	0912											
	US 2003	-65916	7	Α		2003	0910											
OS GI	MARPAT	141:89	093															

AΒ (azaheterocyclylmethyl)heterocycle-fused benzodioxan derivs. {Q = Q1, Q2; R1, R2, R3, X, Y = H, HO, halo, cyano, carboxamido, C2-6 carboalkoxy, CF3, C1-6 alkyl, C1-6 alkoxy, C2-6 alkanoyl, C2-6 alkanoyloxy, amino, mono- or di(C1-6 alkyl)amino, C2-6 alkanamido, C1-6 alkanesulfonyl, C1-6 alkanesulfonamido; or X and Y, taken together, form -N:C(R4)C(R5):N-, -N:C(R4)C(R6):CH-, -N:C(R4)N:CH-, -N:C(R4)O-, -NHC(R7):N- or -NHC(R8):CH-;R4, R5 = H, halo, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, C1-6 alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or di(C1-6 alkyl)amino, C1-6 alkyl; R8 = H, halo, CF3, pentafluoroethyl, C1-6 alkyl; Z = 0, S, or NR9 (R9 = H, C1-6 alkyl); n = an integer 0, 1, or 2; m = aninteger from 1 to 4, provided that  $m+n\leq 4$  and that when m=n=2, and Q is Q2 then X and Y are not NH-C(R8):CH-; p = an integer from 1 to 3, provided that p+n = 2 or 3] or pharmaceutically acceptable salts thereof are prepared These compds. inhibit serotonin reuptake and are antagonists of the 5HT1A receptor and are useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as pre-menstrual

syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses. Thus, a solution of (2R)-4bromobenzenesulfonic acid (8-methyl-2,3-dihydro-[1,4]dioxino[2,3f]quinolin-2-yl)methyl ester (0.35 g, 0.80 mmol), 3-[(azetidin-3yl)methyl]-5-fluoro-1H-indole (0.19 g, 0.96 mmol), and Et3N (0.16 mL, 1.2 mmol) in DMSO (20 mL) was heated at 90° under nitrogen overnight to give, after workup and silica gel chromatog., (S)-2-[[3-[(5-Fluoro-1Hindol-3-yl)methyl]azetidin-1-yl]methyl]-8-methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinoline (II) as a brown oil which was converted into the dihydrochloride. II.2HCl and (S)-1-[2-[1-[(8-Methyl-2,3-dihydro-[1,4]dioxino[2,3-f]quinolin-2-yl)methyl]azetidin-3-yl]ethyl]-1H-indole-6carbonitrile showed an affinity to 5-HT1A serotonin receptor in displacing [3H]8-OHDPAT (dipropylaminotetralin) from 5-HT1A serotonin receptor in CHO

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cells with Ki of 2.50 and 1.52 nM, resp.
    ANSWER 2 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
L9
ΑN
    2004:252517 CAPLUS
    140:287397
DN
ΤI
    Preparation of piperidine derivatives of heterocycle-fused benzodioxans as
     serotonin reuptake inhibitors and 5-HT1A receptors antagonists for
     treating depression
    Webb, Michael Byron; Stack, Gary Paul; Asselin, Magda; Evrard, Deborah Ann
IN
PA
    Wyeth, John, and Brother Ltd., USA
SO
    PCT Int. Appl., 74 pp.
    CODEN: PIXXD2
DT
    Patent
LА
    English
FAN.CNT 1
    PATENT NO.
                                         APPLICATION NO.
                        KIND
                               DATE
                                                                DATE
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                              20040325 WO 2003-US28523
PΙ
    WO 2004024733
                        A1
                                                               20030911
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
            GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM,
            PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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20040729

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003-659160

PRAI US 2002-410033P P 20020912 OS MARPAT 140:287397

A1

US 2004147523

GI

The title compds. (shown as I; variables defined below; e.g. II), useful AB for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared For I: R1, R2 and R3 = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, NH2, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido; X, Y = H, OH, halo, CN, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonyl or alkanesulfonamido, or X and Y, taken together, form -N:C(R4)-C(R5):N-, -N:C(R4)-C(R6):CH-, -N:C(R4)-N:CH-, -N:C(R4)-O-, -NH-C(R7):N- or -NH-C(R8):CH-; R4 and R5=H, halo, amino, mono- or dialkylamino; R6 = H, alkyl; R7 = H, halo, CF3, pentafluoroethyl, amino, mono- or dialkylamino; R8 = H, halo, CF3, pentafluoroethyl, alkyl; the dotted line = an optional double bond; Z = 0, S; Q = C, N; n = 0-1; addnl. details are given in the claims. Althoughthe methods of preparation are not claimed, 14 example prepns. are included. For example, II was prepared by reacting [(2R)-8-methyl-2,3-4-(benzo[b]thiophen-3-yl)-1,2,3,6-tetrahydropyridine in DMSO. The compds. I were tested for serotonin transporter affinity, 5-HTlA receptor affinity, and antagonistic activity at 5-HT1A receptors, and biol. data were given for all exemplified compds. The pharmaceutical composition comprising the compound I is claimed.

Ι

ΙI

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L9 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2004:252514 CAPLUS
- DN 140:287395
- TI Preparation of antidepressant azaheterocyclylmethyl derivs. of heterocycle-fused benzodioxans
- IN Zhou, Dahui; Stack, Gary Paul
- PA Wyeth, John, and Brother Ltd., USA
- SO PCT Int. Appl., 75 pp.

CODEN: PIXXD2

DT Patent LA English FAN.CNT 2

	PATENT NO.					KIND DA		DATE		APPL:	I CAT		DATE				
ΡI	WO 2004	WO 2004024730			A1 20040325			1	WO 2	003-1	20030911						
	<b>W</b> :	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
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		GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KZ,	LC,	LK,
		LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,
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		TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
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		KG,	ΚZ,	MD,	RU,	TJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,
		FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
	US 2004132714				A1 20040708				US 2003-659167						20030910		
PRAI	AI US 2002-410168P			P 20020912													
	US 2003	-659	167		Α		2003	0910									
OS GI	MARPAT	140:	2873:	95													

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The title compds. [I; Q = II, III; R1-R3 = H, OH, halo, CN, carboxamido, AΒ etc.; X, Y = H, OH, halo, CN, etc.; or X and Y, taken together, form N:CR4CR5:N, N:CR4CR5:CH, N:CR4N:CH, N:CR4O, NHCR7:N, NHCR8:CH; R4, R5 = H, halo, NH2, mono- or dialkylamino, alkyl; R6 = H, alkyl; R7 = H, halo, CF3, etc.; R8 = H, halo, CF3, etc.; Z = O, S, NR9; R9 = H, alkyl; n = 0-2; m = 01-4 (with provisos); p = 1-3 (p+n = 2-3)], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa and bulimia nervosa, vasomotor flushing, cocaine and alc. addiction, sexual dysfunction and related illnesses, were prepared Thus, reacting 4-bromobenzenesulfonic acid (2R)-8-methyl-2,3dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl ester with 3-azetidin-3-ylmethyl-5-fluoro-1H-indole in the presence of Et3N in DMSO afforded (2S)-2-[3-(5-fluoro-1H-indol-3-ylmethyl)azetidin-1-ylmethyl]-8methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinoline. The exemplified compds. I were tested for 5-HT transporter affinity, 5-HT1A receptor affinity, and

antagonistic activity at 5-HT1A receptors and biol. data were given. The pharmaceutical composition comprising the compound I is claimed.

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD

RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECOR.

ALL CITATIONS AVAILABLE IN THE RE FORMAT

- L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
- AN 2002:888742 CAPLUS
- DN 137:384846
- TI Process for preparation of indolylpyridinylmethyldioxinoquinolines and related compounds
- IN Chan, Anita Wai-Yin; Curran, Timothy Thomas; Iera, Silvio; Chew, Warren; Sellstedt, John Hamilton; Vid, Galina; Feigelson, Gregg; Ding, Zhixian
- PA Wyeth, John and Brother Ltd., USA
- SO PCT Int. Appl., 59 pp.
- CODEN: PIXXD2
- DT Patent
- LA English
- FAN.CNT 1

	PATENT NO.	KIND DATE	APPLICATION NO.	DATE				
ΡI	WO 2002092602 WO 2002092602		WO 2002-US15097	20020514				
	CO, CR, CU, GM, HR, HU, LS, LT, LU,	CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, MG,	BA, BB, BG, BR, BY, B DZ, EC, EE, ES, FI, G JP, KE, KG, KP, KR, K MK, MN, MW, MX, MZ, N	B, GD, GE, GH, Z, LC, LK, LR, O, NZ, OM, PH,				
	UA, UG, UZ, RW: GH, GM, KE, CY, DE, DK,	VN, YU, ZA, ZM, LS, MW, MZ, SD, ES, FI, FR, GB,	SI, SK, SL, TJ, TM, T ZW, AM, AZ, BY, KG, K SL, SZ, TZ, UG, ZM, Z GR, IE, IT, LU, MC, N GN, GQ, GW, ML, MR, N	Z, MD, RU, TJ, TM W, AT, BE, CH, L, PT, SE, TR,				
		A1 20021212	US 2002-145369					
			EP 2002-736790	20020514				
		DE, DK, ES, FR, LV, FI, RO, MK,	GB, GR, IT, LI, LU, N	L, SE, MC, PT,				
	BR 2002009901 JP 2004530693	A 20040713 T2 20041007	BR 2002-9901 JP 2002-589486	20020514				
PRAI	US 2001-291547P	P 20010517		20031212				
0.0	US 2002-145369 WO 2002-US15097	W 20020514						
OS GI	CASREACT 137:384846	; MARPAT 137:384	846 .					

alkyl, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R2, R3, R4, R6 = H, OH, halo, cyano, carboxamido, carboalkoxy, CF3, alkyl, alkoxy, alkanoyloxy, amino, mono- or dialkylamino, alkanamido, alkanesulfonamido; R5 = H, alkyl; dotted line = optional double bond; A, D = CR1, N; provided that  $\geq$ 1 of A and D = N; E, G = CR1; Z = N, CR6], were prepared by a 7-step process. Thus, [(2R)-8-methyl-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-yl]methyl 4-methylbenzenesulfonate (preparation given), 3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole (preparation given) and K2CO3 were heated in THF:DMF at 80-83° for 10 h to give 72% (2S)-2-[4-(1H-indol-3-yl)-3,6-dihydro-2H-pyridin-1-ylmethyl]-8-methyl-2,3-dihydro-1,4-dioxino[2,3-f]quinoline.

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L9 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN
```

AN 2002:849635 CAPLUS

DN 137:353035

TI Preparation of azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline as 5-HT1A antagonists

IN Stack, Gary Paul; Tran, Megan; Gross, Jonathan Laird; Husbands, George Edward Morris

PA Wyeth, John, and Brother Ltd., USA

SO PCT Int. Appl., 37 pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.					KIND DATE			APPLICATION NO.						DATE				
ΡI	WO 2002088132			A1 20021107			1	WO 2	002-1	US13	029	20020425							
	W :	ΑE,	AG,	AL,	AM,	AT,	ΑU,	AZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,		
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	ΜZ,	NO,	NZ,	OM,	PH,		
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	TJ,	TM,	TN,	TR,	TT,	TZ,		
		UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ΤJ,	TM	
	RW:	GH,	GM,	KΕ,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,		
		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG		
	US 2002193366				A1	20021219			US 2002-131997					20020425					
	US 6821981					,	2004	1123											
PRAI	US 2001	-2865	567P		P		2001	0426											
OS	MARPAT	137:3	3530	35															
GI																			

Ι

N, CR3; Y = N, CH; R3 = H, alkyl; Z = (un) substituted pyrrolidino, piperidino, morpholino, etc.], useful for the treatment of disorders, such as anxiety, aggression and stress, and for the control of various physiol. phenomena, such as appetite, thermoregulation, sleep and sexual behavior, were prepared E.g., a 9-step synthesis of (S)-II, starting from 5-nitroguaiacol and allyl bromide, which showed IC50 of 1.44 nM when tested for 5-HT1A receptor affinity, was given. L9 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2005 ACS on STN 2002:716282 CAPLUS AN DN 137:247706 TI Preparation of antidepressant azaheterocyclylmethyl derivatives of 2,3-dihydro-1,4-dioxino[2,3-f]quinoline ΙN Tran, Megan; Stack, Gary Paul PΑ Wyeth, John, and Brother Ltd., USA SO PCT Int. Appl., 66 pp. CODEN: PIXXD2 DTPatent LΑ English FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. DATE \_\_\_\_ \_\_\_\_\_ -----\_\_\_\_\_ WO 2002072587 PΙ A1 20020919 WO 2002-US7192 20020312 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 6458802 В1 20021001 US 2002-95505 20020312 US 2002165245 A1 20021107 EP 1392697 A1 20040303 EP 2002-721325 20020312 EP 1392697 B1 20041103 AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR Ε 20041115 AT 2002-721325 20020312 US 2003045542 A1 20030306 US 2002-228744 20020827 US 6599915 В2 20030729 PRAI US 2001-275564P Ρ 20010314

US 2002-95505

WO 2002-US7192

OS GI MARPAT 137:247706

Α1

W

20020312

20020312

The title compds. [I; R1 = H, halo, CN, etc.; R2 = H, OH, halo, etc.; X =

AB The title compds. [I; R1 = H, OH, halo, CN, etc.; R2-R5, R7 = H, OH, halo, etc.; R6 = H, alkyl; A, D = CR1, N (provided that at least one of A and D = N); E, G = CR1; Z = N, CR7; n = 0-2], useful for the treatment of depression (including but not limited to major depressive disorder, childhood depression and dysthymia), anxiety, panic disorder, post-traumatic stress disorder, premenstrual dysphoric disorder (also known as premenstrual syndrome), attention deficit disorder (with and without hyperactivity), obsessive compulsive disorder, social anxiety disorder, generalized anxiety disorder, obesity, eating disorders such as anorexia nervosa, bulimia nervosa, vasomotor flushing, cocaine and alc. addition, sexual dysfunction and related illnesses, were prepared Thus, reacting (2R)-2,3-dihydro[1,4]dioxino[2,3-f]quinolin-2-ylmethyl-4-methylbenzenesulfonate (multi-step preparation given) with

5-methoxy-3-(1,2,3,6-

tetrahydro-4-pyridyl)-lH-indole in DMSO afforded (S)-II. All 23 prepared compds. I were tested in the three standard exptl. tests for serotonin 5-HTlA receptor activity (biol. data given).

Ι

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
L1
    2004:252517 CAPLUS
AN
DN
    140:287397
ΤI
    Preparation of piperidine derivatives of heterocycle-fused benzodioxans as
    serotonin reuptake inhibitors and 5-HT1A receptors antagonists for
    treating depression
    Webb, Michael Byron; Stack, Gary Paul; Asselin, Magda; Evrard, Deborah Ann
IN
PA
    Wyeth, John, and Brother Ltd., USA
    PCT Int. Appl., 74 pp.
SO
    CODEN: PIXXD2
DT
    Patent
    English
LΑ
FAN.CNT 1
                      KIND DATE
    PATENT NO.
                                       APPLICATION NO.
                                                              DATE
                                                              _____
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                                        ______
                             20040325 WO 2003-US28523
PΙ
    WO 2004024733
                       A1
                                                              20030911
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
            GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM,
            PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
            TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
            FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
```

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG 20040729 US 2003-659160

MARPAT 140:287397 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 9 ALL CITATIONS AVAILABLE IN THE RE FORMAT

20020912

**A**1

P

=> analyze 11 ENTER ANSWER NUMBER OR RANGE (1-):1 ENTER DISPLAY CODE (TI) OR ?:rn L2 ANALYZE L1 1 RN : 74 TERMS

=> fil reg COST IN U.S. DOLLARS

FULL ESTIMATED COST

US 2004147523

PRAI US 2002-410033P

SINCE FILE TOTAL ENTRY SESSION 13.94 14.15

FILE 'REGISTRY' ENTERED AT 07:16:32 ON 14 APR 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 13 APR 2005 HIGHEST RN 848462-79-3 DICTIONARY FILE UPDATES: 13 APR 2005 HIGHEST RN 848462-79-3

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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20030910

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

=> s 12 L3 74 L2

=> s 13 and ?quinol?

LEFT TRUNCATION IGNORED FOR '?QUINOL?' FOR FILE 'REGISTRY'

690992 QUINOL?

L4 28 L3 AND ?QUINOL?

Left truncation is not valid in the specified search field in the specified file. The term has been searched without left truncation. Examples: '?TERPEN?' would be searched as 'TERPEN?' and '?FLAVONOID' would be searched as 'FLAVONOID.'

If you are searching in a field that uses implied proximity, and you used a truncation symbol after a punctuation mark, the system may interpret the truncation symbol as being at the beginning of a term. Implied proximity is used in search fields indexed as single words, for example, the Basic Index.

=> s 14 and benz? 6409182 BENZ? L5 23 L4 AND BENZ?

=> d scan

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-y1)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)

MF C26 H23 F N2 O2 S

CI COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):22

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1) (9CI) C25 H22 N2 O2 S . C2 H2 O4

MF

CM1

Absolute stereochemistry.

CM 2

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-chlorobenzo[b]thien-3-y1)-3,6dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI) C26 H23 Cl N2 O2 S

MF

COM CI

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 REGISTRY COPYRIGHT 2005 ACS on STN 23 ANSWERS

IN 1,4-Dioxino[2,3-f]quinoline, 2,3-dihydro-8-methyl-2-[(phenylmethoxy)methyl]-, (2S)- (9CI)

C20 H19 N O3 MF

Absolute stereochemistry. Rotation (+).

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-yl)-3,6dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI)

MF C26 H23 F N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.

CM 2

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Pyridinium, 4-benzo[b]thien-7-yl-1-[[(2S)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl]-, salt with 4-bromobenzenesulfonic acid (1:1) (9CI)

MF C26 H21 N2 O2 S . C6 H4 Br O3 S

CM 1

CM 2

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-chlorobenzo[b]thien-3-yl)-3,6dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1) (9CI) C26 H23 Cl N2 O2 S . C2 H2 O4

MF

CM

Absolute stereochemistry.

CM 2

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN Benzenesulfonic acid, 4-bromo-, [(2R)-2,3-dihydro-8-methyl-1,4-dioxino[2,3-f]quinolin-2-yl]methyl ester (9CI)

MF C19 H16 Br N O5 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[3,6-dihydro-4-(7-methoxy-3-benzofuranyl)-1(2H)-pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)-(9CI)

MF C27 H26 N2 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-7-yl-3,6-dihydro-

1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)

MF C26 H24 N2 O2 S

CI COM

Absolute stereochemistry.

Claim 26

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2-benzoxazolyl)-1piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)

MF C25 H25 N3 O3

Ja 30

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)
- MF C26 H24 N2 O2 S
- CI COM

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
- IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-yl)-3,6-dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)- (9CI)
- MF C25 H21 F N2 O2 S
- CI COM

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-7-yl-3,6-dihydro1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1)
(9CI)

MF C26 H24 N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.

CM 2

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
IN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-,
4-methylbenzenesulfonate (ester), (2R)- (9CI)

MF C19 H17 N O5 S

G

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)-, ethanedioate (1:1)
(9CI)

MF C26 H24 N2 O2 S . C2 H2 O4

CM 1

CM 2

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(5-fluorobenzo[b]thien-3-yl)-3,6dihydro-1(2H)-pyridinyl]methyl]-2,3-dihydro-, (2S)-, ethanedioate (1:1)
(9CI)

MF C25 H21 F N2 O2 S . C2 H2 O4

CM 1

Absolute stereochemistry.

CM 2

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2-benzofuranyl)-3,6-dihydro-1(2H)pyridinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)

MF C26 H24 N2 O3

 ${\tt Absolute \ stereochemistry.}$ 

ولن 27

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline-2-methanol, 2,3-dihydro-8-methyl-,
4-methylbenzenesulfonate (ester), (2R)- (9CI)

MF C20 H19 N O5 S

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-2-yl-3,6-dihydro-1(2H)-pyridinyl)methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)

MF C26 H24 N2 O2 S

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[(4-benzo[b]thien-3-yl-3,6-dihydro1(2H)-pyridinyl)methyl]-2,3-dihydro-, (2S)- (9CI)

MF C25 H22 N2 O2 S

CI COM

Absolute stereochemistry.

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L5 23 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN

IN 1,4-Dioxino[2,3-f]quinoline, 2-[[4-(2-benzofuranyl)-1piperidinyl]methyl]-2,3-dihydro-8-methyl-, (2S)- (9CI)

MF C26 H26 N2 O3

 ${\tt Absolute \ stereochemistry.}$ 

28

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

REGISTRY COPYRIGHT 2005 ACS on STN L5 23 ANSWERS

IN 2-Propanol, 1-[(5-bromo-2-methyl-6-quinolinyl)oxy]-3-(phenylmethoxy)-, (2s) - (9CI) C20 H20 Br N O3

MF

Absolute stereochemistry. Rotation (+).

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED